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LOGINID:SSPTANXR1625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	3	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	4	AUG 13	CA/Caplus enhanced with additional kind codes for granted patents
NEWS	5	AUG 20	CA/Caplus enhanced with CAS indexing in pre-1907 records
NEWS	6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	7	AUG 27	USPATOLD now available on STN
NEWS	8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	10	SEP 13	FORIS renamed to SOFIS
NEWS	11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	12	SEP 17	CA/Caplus enhanced with printed CA page images from 1967-1998
NEWS	13	SEP 17	Caplus coverage extended to include traditional medicine patents
NEWS	14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	15	OCT 02	CA/Caplus enhanced with pre-1907 records from Chemisches Zentralblatt
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NEWS	17	NOV 15	Derwent Indian patent publication number format enhanced
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NEWS	29	JAN 02	STN pricing information for 2008 now available
NEWS EXPRESS	19	SEPTEMBER 2007:	CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 12:06:54 ON 03 JAN 2008

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 12:07:18 ON 03 JAN 2008

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STRUCTURE FILE UPDATES: 2 JAN 2008 HIGHEST RN 959900-89-1

DICTIONARY FILE UPDATES: 2 JAN 2008 HIGHEST RN 959900-89-1

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

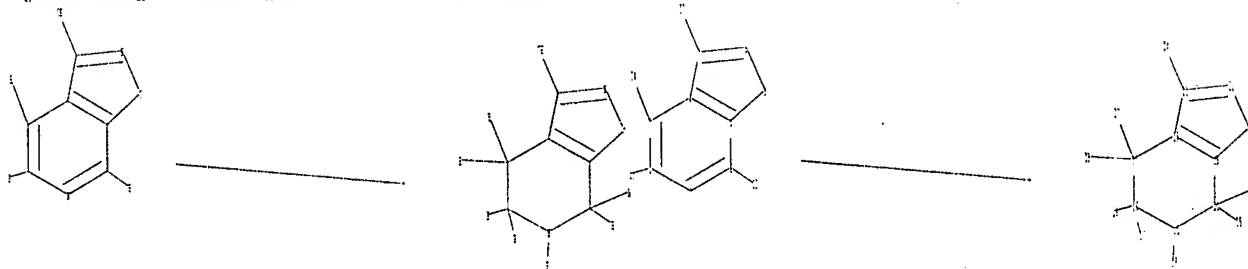
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10570551.str



chain nodes :

11 12 13 14 24 25 26 27 28 29 30 31

ring nodes :

1 2 3 4 5 6 7 8 9 15 16 17 18 19 20 21 22 23

chain bonds :

2-13 3-14 6-12 7-11 15-28 16-26 16-30 17-27 17-29 20-25 20-31 21-24  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 15-16 15-20 16-17 17-18 18-19  
 18-21 19-20 19-23 21-22 22-23  
 exact/norm bonds :  
 7-8 7-11 15-16 15-20 16-17 17-18 18-19 18-21 19-20 19-23 21-22 21-24  
 22-23  
 exact bonds :  
 2-13 3-14 4-7 5-9 6-12 8-9 15-28 16-26 16-30 17-27 17-29 20-25 20-31  
 normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6  
 isolated ring systems :  
 containing 1 :

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS  
 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom  
 21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS  
 29:CLASS 30:CLASS 31:CLASS  
 fragments assigned product role:  
 containing 15  
 fragments assigned reactant/reagent role:  
 containing 1

L1 STRUCTURE UPLOADED

=> file casreact  
 COST IN U.S. DOLLARS  
 FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
0.46	0.67

FILE 'CASREACT' ENTERED AT 12:07:55 ON 03 JAN 2008  
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FILE CONTENT:1840 - 29 Dec 2007 VOL 148 ISS 1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

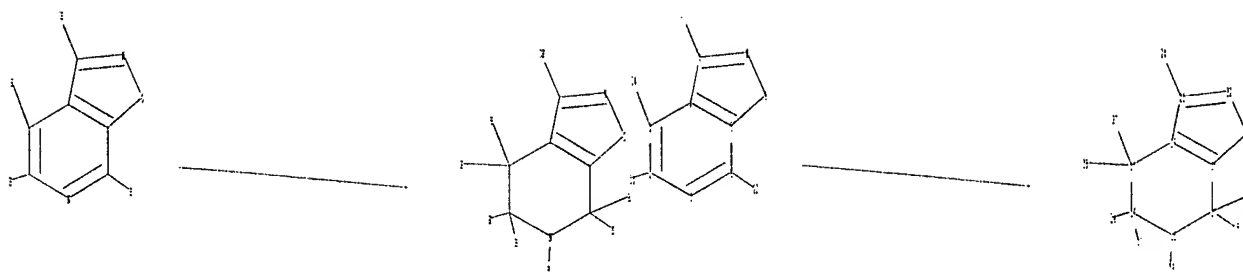
\*\*\*\*\*  
 \*  
 \* CASREACT now has more than 13.8 million reactions \*  
 \*  
 \*\*\*\*\*

Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>

Uploading C:\Program Files\Stnexp\Queries\10570551.str



chain nodes :

11 12 13 14 24 25 26 27 28 29 30 31 .

ring nodes :

1 2 3 4 5 6 7 8 9 15 16 17 18 19 20 21 22 23

chain bonds :

2-13 3-14 6-12 7-11 15-28 16-26 16-30 17-27 17-29 20-25 20-31 21-24

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 15-16 15-20 16-17 17-18 18-19  
18-21 19-20 19-23 21-22 22-23

exact/norm bonds :

7-8 7-11 15-16 15-20 16-17 17-18 18-19 18-21 19-20 19-23 21-22 21-24  
22-23

exact bonds :

2-13 3-14 4-7 5-9 6-12 8-9 15-28 16-26 16-30 17-27 17-29 20-25 20-31

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS  
12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom  
21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS  
29:CLASS 30:CLASS 31:CLASS

fragments assigned product role:

containing 15

fragments assigned reactant/reagent role:

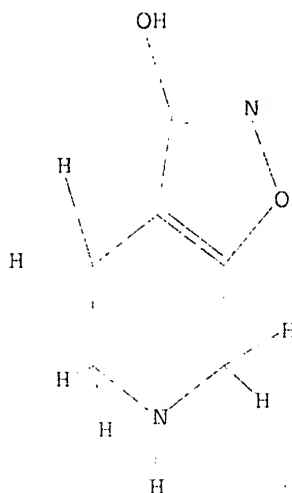
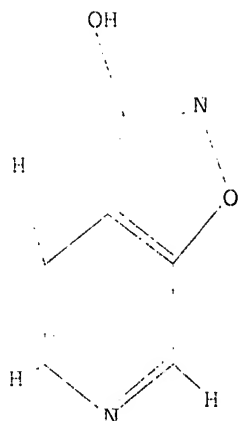
containing 1

L2 STRUCTURE UPLOADED

=> d 12

L2 HAS NO ANSWERS

L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 12

SAMPLE SEARCH INITIATED 12:08:20 FILE 'CASREACT'

SCREENING COMPLETE - 0 REACTIONS TO VERIFY FROM

0 DOCUMENTS

100.0% DONE 0 VERIFIED 0 HIT RXNS

0 DOCS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED VERIFICATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L3 0 SEA SSS SAM L2 ( 0 REACTIONS)

=> s 12 full

FULL SEARCH INITIATED 12:08:24 FILE 'CASREACT'

SCREENING COMPLETE - 3 REACTIONS TO VERIFY FROM

1 DOCUMENTS

100.0% DONE 3 VERIFIED 2 HIT RXNS

1 DOCS

SEARCH TIME: 00.00.01

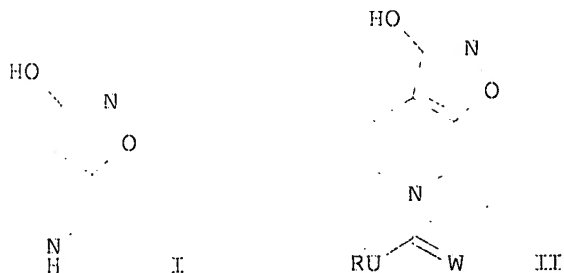
L4 1 SEA SSS FUL L2 ( 2 REACTIONS)

=> d ibib abs fhit tot

ACCESSION NUMBER: 142:316828 CASREACT  
 TITLE: Method for the manufacture of THIP  
 INVENTOR(S): Petersen, Hans; Bech Sommer, Michael; Dancer, Robert  
 PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.  
 SOURCE: PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023820	A1	20050317	WO 2004-DK579	20040901
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004270323	A1	20050317	AU 2004-270323	20040901
CA 2537840	A1	20050317	CA 2004-2537840	20040901
EP 1664060	A1	20060607	EP 2004-762799	20040901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1845928	A	20061011	CN 2004-80025424	20040901
BR 2004013741	A	20061024	BR 2004-13741	20040901
JP 2007504179	T	20070301	JP 2006-525046	20040901
MX 2006PA02434	A	20060620	MX 2006-PA2434	20060302
IN 2006CN00779	A	20070622	IN 2006-CN779	20060303
NO 2006Q01424	A	20060329	NO 2006-1424	20060329
US 2007112198	A1	20070517	US 2006-570551	20060510
PRIORITY APPLN. INFO.:			DK 2003-1277	20030905
			US 2003-500422P	20030905
			WO 2004-DK579	20040901

OTHER SOURCE(S): MARPAT 142:316828  
 GI

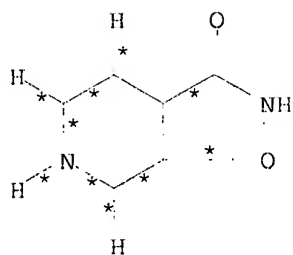
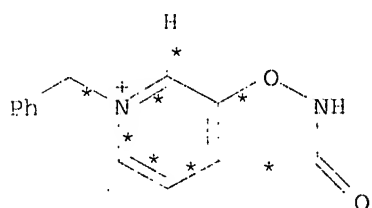


AB The present invention relates to a new method of preparing gaboxadol (THIP; I), which is useful for treating sleep disorders (no data). In particular a method of preparing THIP comprising reacting a compound II [R = alkyl, cycloalkyl, aryl, etc.; U = N, CR<sub>1</sub> (R<sub>1</sub> = H, R); W = O, S, NR<sub>4</sub> (R<sub>4</sub> = H, R)] or a salt thereof with an acid, typically a mineral acid, to obtain THIP as an acid addition salt. The present invention also relates to several intermediates. E.g., a multi-step synthesis of I.HBr, starting from Me

3-hydroxyisonicotinate, was given.

RX(9) OF 15 COMPOSED OF RX(4), RX(5)

RX(9) J ==> O



● Br<sup>-</sup>

2

STEPS

● HBr

J

O  
YIELD 68%

RX(4) RCT J 847996-43-4  
RGT M 16940-66-2 NaBH<sub>4</sub>  
PRO L 847996-44-5  
SOL 7732-18-5 Water, 64-17-5 EtOH  
CON SUBSTAGE(1) <35 deg C  
SUBSTAGE(2) 24 hours  
NTE caution reagent foams on addition

RX(5) RCT L 847996-44-5

STAGE(1)

RGT P 7087-68-5 EtN(Pr-i)<sub>2</sub>, Q 79-22-1 ClCO<sub>2</sub>Me  
SOL 141-78-6 AcOEt  
CON SUBSTAGE(1) room temperature  
SUBSTAGE(2) 48 hours, room temperature  
SUBSTAGE(3) room temperature -> 0 deg C

STAGE(2)

RGT R 7664-41-7 NH<sub>3</sub>  
SOL 7732-18-5 Water  
CON SUBSTAGE(2) 15 minutes

STAGE(3)

RGT S 10035-10-6 HBr  
SOL 64-19-7 AcOH  
CON SUBSTAGE(2) 6 hours, 40 deg C

PRO O 65202-63-3

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 12:06:54 ON 03 JAN 2008)

L1 FILE 'REGISTRY' ENTERED AT 12:07:18 ON 03 JAN 2008  
STRUCTURE UPLOADED

L2 FILE 'CASREACT' ENTERED AT 12:07:55 ON 03 JAN 2008  
STRUCTURE UPLOADED  
L3 0 S L2  
L4 1 S L2 FULL

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
123.48	124.15

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-0.75	-0.75

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 12:09:03 ON 03 JAN 2008



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LOGINID:SSPTANXR1625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 12:10:11 ON 03 JAN 2008

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 12:10:24 ON 03 JAN 2008

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DICTIONARY FILE UPDATES: 2 JAN 2008 HIGHEST RN 959900-89-1

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007.

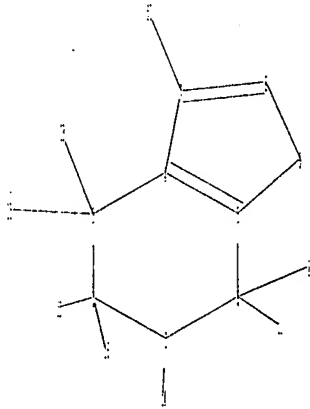
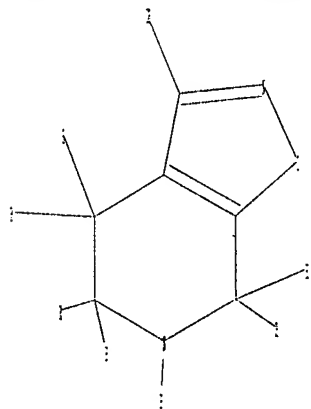
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10570551C.str



chain nodes :

10 11 12 13 14 15 16 17

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

1-14 2-12 2-16 3-13 3-15 6-11 6-17 7-10

ring bonds :  
 1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9  
 exact/norm bonds :  
 1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 7-10 8-9  
 exact bonds :  
 1-14 2-12 2-16 3-13 3-15 6-11 6-17

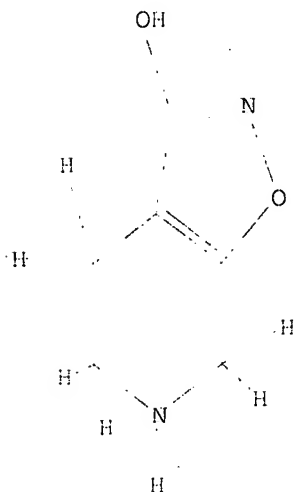
Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:10:50 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 9 TO 360

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 12:10:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 267 TO ITERATE

100.0% PROCESSED 267 ITERATIONS

36 ANSWERS

SEARCH TIME: 00.00.01

L3 36 SEA SSS FUL L1

=> file caplus  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
178.36	178.57

FILE 'CAPLUS' ENTERED AT 12:10:58 ON 03 JAN 2008  
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FILE COVERS 1907 - 3 Jan 2008 VOL 148 ISS 1  
FILE LAST UPDATED: 2 Jan 2008 (20080102/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l3 full  
L4 502 L3

=> s l3/prep full  
502 L3  
4508518 PREP/RL  
L5 13 L3/PREP  
(L3 (L) PREP/RL)

=> d ibib abs hitstr tot

ACCESSION NUMBER: 2006:1177390 CAPLUS  
 DOCUMENT NUMBER: 145:495605  
 TITLE: Acid and base salt forms of gaboxadol  
 INVENTOR(S): Crocker, Louis S.; Murry, Jerry A.; Nagapudi, Karthik;  
 Rubin, Kara Beth  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 20pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006118897	A1	20061109	WO 2006-US15789	20060425
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2005-676332P P 20050429  
 AB The present invention is directed to novel acid salt forms and base salt forms of the compound gaboxadol (4,5,6,7-tetrahydroisoxazolo[5,4-c]pyridin-3-ol) and hydrates, solvates and polymorphic forms thereof. The invention is further concerned with pharmaceutical compns. containing the salt forms as an active ingredient, methods for treatment of disorders susceptible to amelioration by GABAA receptor agonism with the salt forms, and processes for the preparation of the salt forms.

IT 914291-56-8P 914291-57-9P 914291-58-0P  
 914291-59-1P 914291-60-4P 914291-62-6P  
 914291-64-8P 914291-66-0P 914291-67-1P  
 914291-68-2P 914291-69-3P 914291-71-7P  
 914291-72-8P 914291-73-9P

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(acid and base salt forms of gaboxadol)

RN 914291-56-8 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, monoacetate (9CI)  
 (CA INDEX NAME)

CM 1

CRN 64603-91-4

CMF C6 H8 N2 O2

HN O.  
 NH

O  
 O

CM 2

CRN 64-19-7  
CMF C2 H4 O2

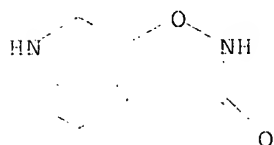
O

HO C CH<sub>3</sub>

RN 914291-57-9 CAPLUS  
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-,  
2-hydroxy-1,2,3-propanetricarboxylate (3:1) (9CI) (CA INDEX NAME)

CM 1

CRN 64603-91-4  
CMF C6 H8 N2 O2



CM 2

CRN 77-92-9  
CMF C6 H8 O7

CO<sub>2</sub>H

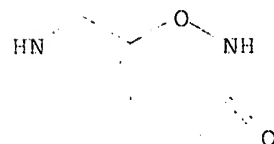
HO<sub>2</sub>C CH<sub>2</sub> C CH<sub>2</sub> CO<sub>2</sub>H

OH

RN 914291-58-0 CAPLUS  
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-,  
(2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

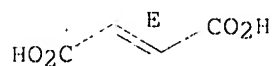
CRN 64603-91-4  
CMF C6 H8 N2 O2



CM 2

CRN 110-17-8  
CMF C4 H4 O4

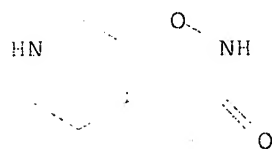
Double bond geometry as shown.



RN 914291-59-1 CAPLUS  
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, phosphate (3:1)  
(9CI) (CA INDEX NAME)

CM 1

CRN 64603-91-4  
CMF C6 H8 N2 O2



CM 2

CRN 7664-38-2  
CMF H3 O4 P

O

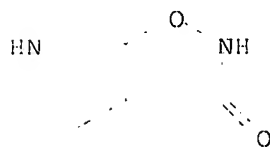
HO P OH

OH

RN 914291-60-4 CAPLUS  
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-,  
(2R,3R)-2,3-dihydroxybutanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 64603-91-4  
CMF C6 H8 N2 O2

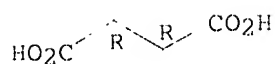


CM 2

CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.

OH



OH

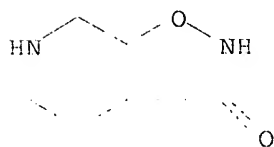
RN 914291-62-6 CAPLUS

CN Butanedioic acid, compd. with 4,5,6,7-tetrahydroisoxazolo[5,4-c]pyridin-3(2H)-one (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 64603-91-4

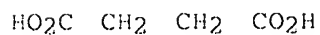
CMF C6 H8 N2 O2



CM 2

CRN 110-15-6

CMF C4 H6 O4



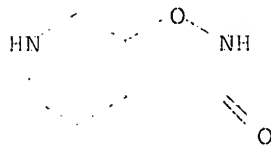
RN 914291-64-8 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 64603-91-4

CMF C6 H8 N2 O2



CM 2

CRN 7664-93-9

CMF H2 O4 S



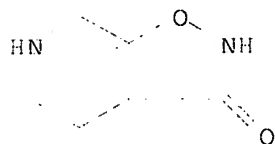
O

HO S OH

O

RN 914291-66-0 CAPLUS

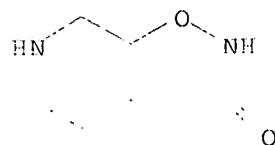
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, calcium salt (2:1)  
(CA INDEX NAME)



● 1/2 Ca

RN 914291-67-1 CAPLUS

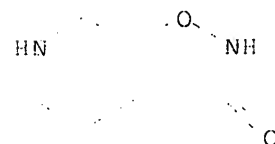
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, monopotassium salt  
(9CI) (CA INDEX NAME)



● K

RN 914291-68-2 CAPLUS

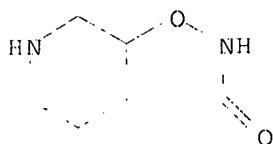
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, magnesium salt  
(2:1) (CA INDEX NAME)



● 1/2 Mg

RN 914291-69-3 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, monosodium salt  
(9CI) (CA INDEX NAME)

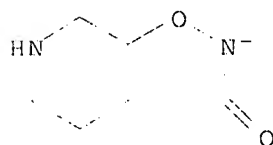


● Na

RN 914291-71-7 CAPLUS  
 CN Ethanaminium, 2-hydroxy-N,N,N-trimethyl-, salt with 4,5,6,7-tetrahydroisoxazolo[5,4-c]pyridin-3(2H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 914291-70-6  
 CMF C6 H7 N2 O2



CM 2

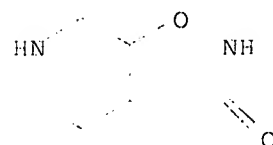
CRN 62-49-7  
 CMF C5 H14 N O

Me3<sup>+</sup>N CH2 CH2 OH

RN 914291-72-8 CAPLUS  
 CN L-Lysine, compd. with 4,5,6,7-tetrahydroisoxazolo[5,4-c]pyridin-3(2H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

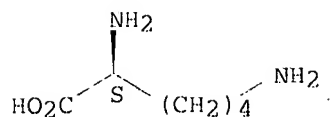
CRN 64603-91-4  
 CMF C6 H8 N2 O2



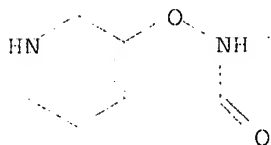
CM 2

CRN 56-87-1  
 CMF C6 H14 N2 O2

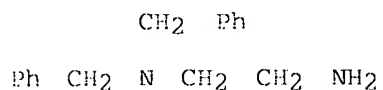
Absolute stereochemistry.



RN 914291-73-9 CAPLUS  
 CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, compd. with  
 N,N-bis(phenylmethyl)-1,2-ethanediamine (1:1) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 64603-91-4  
 CMF C6 H8 N2 O2



CM 2  
 CRN 14165-27-6  
 CMF C16 H20 N2



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2006:1009629 CAPLUS  
 DOCUMENT NUMBER: 145:383399  
 TITLE: Gaboxadol forms, compositions thereof, methods for preparation and uses for treating sleep disorders  
 INVENTOR(S): Almarsson, Orn; Hickey, Magali Bourghol; Peterson, Matthew  
 PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 56pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006102093	A1	20060928	WO 2006-US9737	20060317
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1863808	A1	20071212	EP 2006-738759	20060317
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			

PRIORITY APPLN. INFO.: US 2005-663423P P 20050318  
 WO 2006-US9737 W 20060317

AB The invention provides novel gaboxadol forms and methods for making and using the same. These forms include salts, hydrates, solvates, and polymorphs of gaboxadol with improved aqueous solubility when compared to known gaboxadol forms. The invention also provides novel compns. comprising these novel soluble forms and a suitable carrier. The invention also provides related methods of treatment. Compns. and methods of the invention of the invention have a number of uses, including the treatment or prevention of sleep disorders.

IT 815574-58-4P, Gaboxadol monohydrate 910641-51-9P

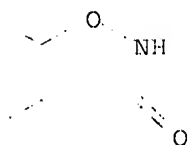
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(gaboxadol forms, compns. thereof, methods for preparation and uses for treating sleep disorders)

RN 815574-58-4 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, monohydrate (9CI)  
 (CA INDEX NAME)

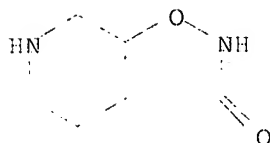
HN



RN 910641-51-9 CAPLUS  
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-,  
(2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

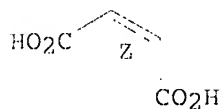
CRN 64603-91-4  
CMF C6 H8 N2 O2



CM 2

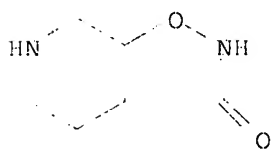
CRN 110-16-7  
CMF C4 H4 O4

Double bond geometry as shown.



IT 64603-91-4P, Gaboxadol  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP  
(Preparation); RACT (Reactant or reagent)  
(gaboxadol forms, comps. thereof, methods for preparation and uses for  
treating sleep disorders)

RN 64603-91-4 CAPLUS  
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro- (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2006:795633 CAPLUS  
 DOCUMENT NUMBER: 145:217970  
 TITLE: Polymorphic forms of a GABA agonist  
 INVENTOR(S): Kumke, Daniel J.; Murry, Jerry A.; Simmons, Bryon L.;  
 Xu, Feng  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 12pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006083682	A2	20060810	WO 2006-US2809	20060126
WO 2006083682	A3	20070405		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, CH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 1848420	A2	20071031	EP 2006-719602	20060126
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			

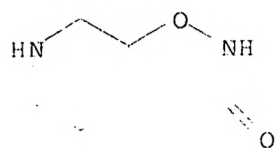
PRIORITY APPLN. INFO.: US 2005-648151P P 20050128  
 WO 2006-US2809 W 20060126

AB The present invention is directed to novel polymorphic forms of 4,5,6,7-tetrahydroisoxazolo[5,4-c]pyridin-3-ol hydrate (gaboxadol monohydrate). The invention is further concerned with pharmaceutical compns. containing the polymorphic forms as an active ingredient, methods for treatment of disorders susceptible to amelioration by GABAA receptor agonism with the polymorphic forms, and processes for the preparation of the polymorphic forms. Gaboxadol-HCl was dissolved in water-isopropanol and was treated with 1 equiv of 5N NaOH. The solution was stirred and the slurry was aged for hours at ambient temperature. The resulting white solid was filtered and air dried to give the gaboxadol monohydrate form III.

IT 815574-58-4P, Gaboxadol monohydrate  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BTOL (Biological study); PREP (Preparation); USES (Uses)  
 (polymorphic forms of GABA agonist)

RN 815574-58-4 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, monohydrate (9CI)  
 (CA INDEX NAME)



● H<sub>2</sub>O

ACCESSION NUMBER: 2005:686172 CAPLUS  
 DOCUMENT NUMBER: 143:179592  
 TITLE: Crystalline forms of a GABAA agonist, gaboxadol for treatment of neurological and psychiatric disorders  
 INVENTOR(S): Cooper, Vincent Brett  
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK  
 SOURCE: Brit. UK Pat. Appl., 19 pp.  
 CODEN: BAXXDU  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2410434	A	20050803	GB 2005-1847	20050128
US 2005171142	A1	20050804	US 2005-45768	20050128
US 7262300	B2	20070828		
AU 2005209473	A1	20050811	AU 2005-209473	20050128
CA 2554536	A1	20050811	CA 2005-2554536	20050128
WO 2005073237	A2	20050811	WO 2005-GB288	20050128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1713813	A2	20061025	EP 2005-702040	20050128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1914212	A	20070214	CN 2005-80003161	20050128
BR 2005006858	A	20070529	BR 2005-6858	20050128
JP 2007519697	T	20070719	JP 2006-550301	20050128
IN 2006DN04184	A	20070622	IN 2006-DN4184	20060720
MX 2006PA08595	A	20060828	MX 2006-PA8595	20060728
KR 2007007070	A	20070112	KR 2006-715417	20060728
NO 2006003843	A	20060829	NO 2006-3843	20060829
US 2007259912	A1	20071108	US 2007-827570	20070713
PRIORITY APPLN. INFO.:				
			GB 2004-2118	A 20040130
			US 2005-45768	A3 20050128
			WO 2005-GB288	W 20050128

AB Two new crystalline monohydrates and two new crystalline anhydrides of gaboxadol are disclosed together with methods for preparing them. The methods comprise dissolving an acid salt of gaboxadol in water, adjusting the pH to pH 6.5 and either collecting the precipitate immediately or allowing it to age for 12 h.

The crystalline gaboxadol is intended for use in the treatment of neurol. or psychiatric disorders susceptible to amelioration by GABAA receptor agonist. Thus, a solution of gaboxadol hydrochloride was treated with sufficient triethylamine to give a pH of 6.5. The resulting white solid was collected, filtered and air dried giving gaboxadol monohydrate Form I.

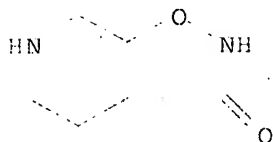
IT 815574-58-4P

RL: PNU (Preparation, unclassified); PRP (Properties); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(crystalline forms of gaboxadol for dosage forms for treatment of neurol. or psychiatric disorders susceptible to amelioration by GABAA receptor agonism)

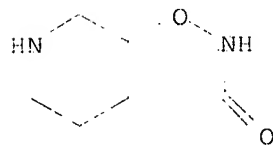


RN 815574-58-4 CAPLUS  
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, monohydrate (9CI)  
(CA INDEX NAME)



● H<sub>2</sub>O

IT 64603-91-4P, Gaboxadol  
RL: PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(crystalline forms of gaboxadol for dosage forms for treatment of neurol. or psychiatric disorders susceptible to amelioration by GABAA receptor agonism)  
RN 64603-91-4 CAPLUS  
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro- (CA INDEX NAME)



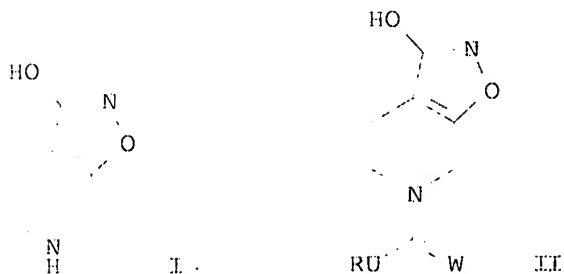
REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:238996 CAPLUS  
 DOCUMENT NUMBER: 142:316828  
 TITLE: Method for the manufacture of THIP  
 INVENTOR(S): Petersen, Hans; Bech Sommer, Michael; Dancer, Robert  
 PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.  
 SOURCE: PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023820	A1	20050317	WO 2004-DK579	20040901
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004270323	A1	20050317	AU 2004-270323	20040901
CA 2537840	A1	20050317	CA 2004-2537840	20040901
EP 1664060	A1	20060607	EP 2004-762799	20040901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1845928	A	20061011	CN 2004-80025424	20040901
BR 2004013741	A	20061024	BR 2004-13741	20040901
JP 2007504179	T	20070301	JP 2006-525046	20040901
MX 2006PA02434	A	20060620	MX 2006-PA2434	20060302
IN 2006CN00779	A	20070622	IN 2006-CN779	20060303
NO 2006001424	A	20060329	NO 2006-1424	20060329
US 2007112198	A1	20070517	US 2006-570551	20060510
PRIORITY APPLN. INFO.:			DK 2003-1277	A 20030905
			US 2003-500422P	P 20030905
			WO 2004-DK579	W 20040901
OTHER SOURCE(S):			CASREACT 142:316828; MARPAT 142:316828	
GI				



AB The present invention relates to a new method of preparing gaboxadol (THIP; I), which is useful for treating sleep disorders (no data). In particular a method of preparing THIP comprising reacting a compound II [R = alkyl, cycloalkyl, aryl, etc.; U = N, CR1 (R1 = H, R); W = O, S, NR4 (R4 = H, R)]

or a salt thereof with an acid, typically a mineral acid, to obtain THIP as an acid addition salt. The present invention also relates to several intermediates. E.g., a multi-step synthesis of I.HBr, starting from Me 3-hydroxyisonicotinate, was given.

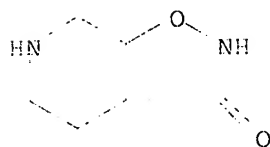
IT 65202-63-3P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(method for the manufacture of THIP)

RN 65202-63-3 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, monohydrobromide (9CI) (CA INDEX NAME)



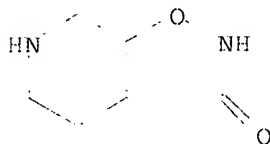
● HBr

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2008 ACS on STM  
 ACCESSION NUMBER: 2004:720824 CAPLUS  
 DOCUMENT NUMBER: 141:306879  
 TITLE: Gaboxadol (Lundbeck/Merck)  
 AUTHOR(S): Huckle, Richard  
 CORPORATE SOURCE: Innovation Center, Actelion Ltd, Allschwil, CH-4123, Switz.  
 SOURCE: Current Opinion in Investigational Drugs (Thomson Scientific) (2004), 5(7), 766-773  
 CODEN: COIDAZ; ISSN: 1472-4472  
 PUBLISHER: Thomson Scientific  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review. H Lundbeck A/S, in collaboration with Merck & Co Inc, is developing gaboxadol, a GABAA agonist, for the potential treatment of sleep disorders. The compound is currently undergoing phase III clin. trials.  
 IT 64603-91-4P, Gaboxadol  
 RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (GABAA agonist gaboxadol for potential treatment of sleep disorders)  
 RN 64603-91-4 CAPLUS  
 CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro- (CA INDEX NAME)



REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1995:726673 CAPLUS

DOCUMENT NUMBER: 123:169581

TITLE: Partial GABAA Receptor Agonists. Synthesis and in Vitro Pharmacology of a Series of Nonannulated Analogs of 4,5,6,7-Tetrahydroisoxazolo[4,5-c]pyridin-3-ol

AUTHOR(S): Frolund, Bente; Kristiansen, Uffe; Brehm, Lotte; Hansen, Annette B.; Krogsgaard-Larsen, Povl; Falch, Erik

CORPORATE SOURCE: PharmaBiotec Research Center, Royal Danish School of Pharmacy, Copenhagen, DK-2100, Den.

SOURCE: Journal of Medicinal Chemistry (1995), 38(17), 3287-96  
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 123:169581

AB 5-(4-Piperidinyl)3-isoxazolol (4-PIOL), a structural analog of 4-aminobutanoic acid (GABA) and the GABAA agonist 4,5,6,7-tetrahydroisoxazolo[5,4-c]pyridin-3-ol (THIP), is a low-efficacy partial GABAA agonist. A number of compds. bioisosterically derived from 4-PIOL, including 5-(4-piperidinyl)-3-isothiazolol, 3-(4-piperidinyl)-5-isoxazolol 5-(1,2,3,6-tetrahydropyrid-4-yl)-3-isoxazolol, and 5-(1,2,3,6-tetrahydropyrid-4-yl)isothiazol-3-ol, were synthesized and tested as GABAA receptor ligands. Whereas none of these compds. significantly affected GABAB receptor binding or GABA uptake, they showed affinities for GABAA receptor sites in the low-micromolar range. Using cultured cerebral cortical neurons and whole-cell patch-clamp techniques, the efficacies of these compds. relative to that of the full GABAA agonist, isoguvacine (20  $\mu$ M), were determined. The relative efficacy of 5-(4-piperidinyl)-3-isothiazolol, which has a higher receptor affinity ( $IC_{50}$  = 1.3  $\mu$ M) than 4-PIOL ( $IC_{50}$  = 9.3  $\mu$ M), was comparable with that of 4-PIOL (30-35%). The tetrahydropyridine analog of 4-PIOL, compound 5-(1,2,3,6-tetrahydropyrid-4-yl)-3-isoxazolol, showed a markedly lower receptor affinity ( $IC_{50}$  = 32  $\mu$ M) and apparently a lower relative efficacy than 4-PIOL. The corresponding unsatd. analog of 5-(4-piperidinyl)-3-isothiazolol, compound 14, showed a slightly weaker receptor affinity ( $IC_{50}$  = 4.0  $\mu$ M) but a significantly higher relative efficacy (50-55%) than 5-(4-piperidinyl)-3-isothiazolol. The 5-isoxazolol isomer of 4-PIOL, compound 3-(4-piperidinyl)-5-isoxazolol, showed a reduced receptor affinity ( $IC_{50}$  = 26  $\mu$ M) and a very low relative efficacy. Substitution of propanoic or propenoic acid moieties for the acidic heterocyclic units of these compds. gave the monocyclic amino acid derivs., which have very little or no affinity for GABAA receptor sites.

IT 64603-91-4DP, Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro, analogs  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(THIP; preparation of isoxazolo[5,4-c]pyridinone analogs as GABAa agonists)

RN 64603-91-4 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro- (CA INDEX NAME)

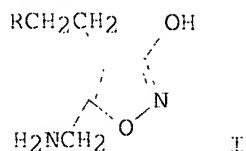
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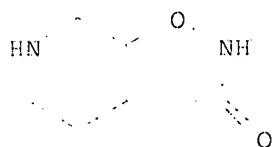
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O

ACCESSION NUMBER: 1992:591577 CAPLUS  
 DOCUMENT NUMBER: 117:191577  
 TITLE: 3-Hydroxyisoxazole bioisosteres of GABA. Synthesis of a series of 4-substituted muscimol analogs and identification of a bicyclic 2-isoxazoline rearrangement product  
 AUTHOR(S): Hjeds, Hans; Christensen, Inge T.; Cornett, Claus; Froelund, Bente; Falch, Erik; Pedersen, Joergen B.; Krogsgaard-Larsen, Povl  
 CORPORATE SOURCE: PharmaBiotec Res. Cent., R. Dan. Sch. Pharm., Copenhagen, DK-2100, Den.  
 SOURCE: Acta Chemica Scandinavica (1992), 46(8), 772-7  
 CODEN: ACHSE7; ISSN: 0904-213X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 117:191577  
 GI



AB 3-Hydroxy-4-(2-hydroxyethyl)-5-methylisoxazole was used as the starting material for the syntheses of the muscimol analogs I (R = OH, Cl, OAc). Whilst muscimol is a very potent agonist at GABAA receptors, I did not show significant affinity for GABAA receptor sites in vitro.  
 IT 65202-63-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 65202-63-3 CAPLUS  
 CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

ACCESSION NUMBER: 1984:465548 CAPLUS  
 DOCUMENT NUMBER: 101:65548  
 ORIGINAL REFERENCE NO.: 101:9975a,9978a  
 TITLE: Analgesic GABA agonists. Synthesis and structure-activity studies on analogs and derivatives of muscimol and THIP  
 AUTHOR(S): Haefliger, Walter; Revesz, Laszlo; Maurer, Richard; Roemer, Dietmar; Buescher, Heinz Hermann  
 CORPORATE SOURCE: Sandoz Ltd., Basel, CH-4002, Switz.  
 SOURCE: European Journal of Medicinal Chemistry (1984), 19(2), 149-56  
 CODEN: EJMCA5; ISSN: 0009-4374  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 101:65548

AB A series of analogs. and derivs. (prodrugs) of muscimol and THIP were prepared and their GABA receptor affinity, analgesic, and GABAergic properties examined. Some compds. designed as prodrugs exhibited high GABA receptor affinity indicating that nonzwitterionic mols. interact with GABA receptors. Analgesic and GABAergic activities of muscimol prodrugs were pronounced but weaker than muscimol itself. A ring opened THIP derivative was inactive whereas its carbamate derivative showed analgesic and GABAergic activity. A benzophenone-imine derivative showed strong GABA binding but no analgesic activity. Carbamate type THIP prodrugs were also active in analgesic and anticonvulsive tests but weaker than THIP itself. Ester- and alkanoyloxymethyl prodrugs were only active in the hot plate test. When the inactive 7-methyl-THIP was converted to a potential prodrug it produced high GABA-mimetic activity in both anticonvulsant and analgesic tests. In all cases, sedation was inseperable from analgesia.

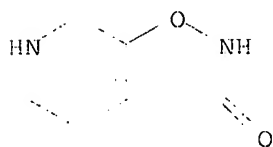
IT 64603-91-4DP, derivs.

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and GABA agonist activity of, mol. structure in relation to)

RN 64603-91-4 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro- (CA INDEX NAME)

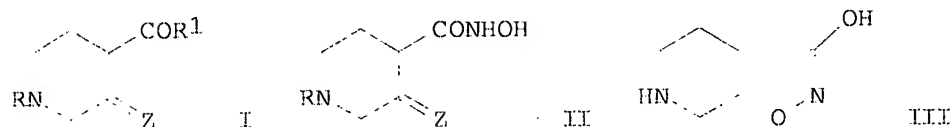


L5 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

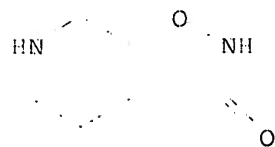
ACCESSION NUMBER: 1982:562964 CAPLUS  
DOCUMENT NUMBER: 97:162964  
ORIGINAL REFERENCE NO.: 97:27185a,27188a  
TITLE: Isoxazolo[5,4-c]pyridines which are GABA-agonists  
INVENTOR(S): Krogsgaard-Larsen, Povl  
PATENT ASSIGNEE(S): Lundbeck, H., og Co. A/S, Den.  
SOURCE: Can., 29 pp. Division of Can. Appl. No. 305,798.  
CODEN: CAXXA4  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1125288	A2	19820608	CA 1981-377128	19810507
CA 1107736	A1	19810825	CA 1978-305798	19780620
US 4301287	A	19811117	US 1979-104080	19791217
PRIORITY APPLN. INFO.:			GB 1977-25740	A 19770620
			CA 1978-305798	A3 19780620
			US 1978-917118	A3 19780619

OTHER SOURCE(S): MARPAT 97:162964  
GI

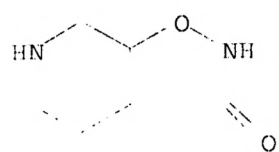


AB Piperidinecarboxylic acid compds. I (R = Ac, carbalkoxy, carbophenoxy, CPh<sub>3</sub>, CHO; Z = ketalized O; R<sub>1</sub> = halo, OH, alkoxy) reacted with HONH<sub>2</sub> to yield hydroxamic acids II. Isoxazolo[5,4-c]pyridine derivative III, which is an agonist of H<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>CO<sub>2</sub>H, was prepared from II. I (R = CO<sub>2</sub>Me, R<sub>1</sub> = OEt, Z = OCH<sub>2</sub>CH<sub>2</sub>O) reacted with HONH<sub>2</sub> to give II (R = CO<sub>2</sub>Me, Z = OCH<sub>2</sub>CH<sub>2</sub>O), and the latter was treated with HCl and then with HBr-HOAc to give III.HBr.  
IT 64603-91-4P 65202-63-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and use of, as gamma-aminobutyric acid agonist)  
RN 64603-91-4 CAPLUS  
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro- (CA INDEX NAME)



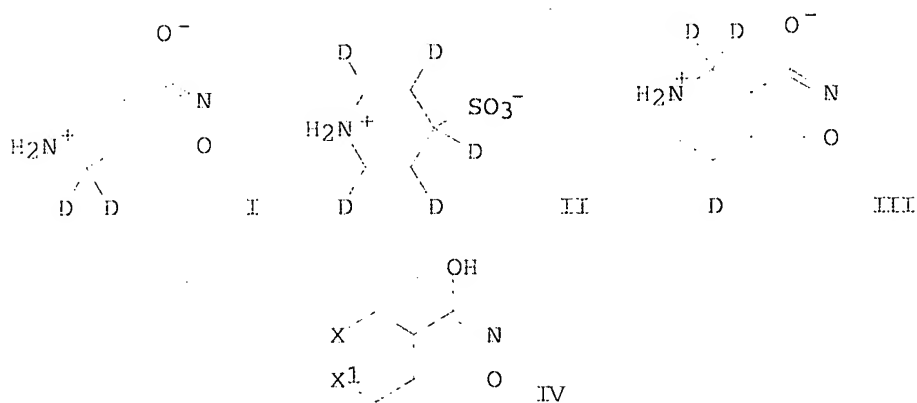
RN 65202-63-3 CAPLUS  
CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, monohydrobromide (9CI) (CA INDEX NAME)





● HBr

ACCESSION NUMBER: 1982:527546 CAPLUS  
 DOCUMENT NUMBER: 97:127546  
 ORIGINAL REFERENCE NO.: 97:21173a,21176a  
 TITLE: Deuterium labeling of the GABA agonists THIP, piperidine-4-sulfonic acid, and the GABA uptake inhibitor THPO  
 AUTHOR(S): Krogsgaard-Larsen, Povl; Johansen, Joergen Stage; Falch, Erik  
 CORPORATE SOURCE: Dep. Chem. BC, R. Dan. Sch. Pharm., Copenhagen, DK-2100, Den.  
 SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals (1982), 19(5), 689-702  
 CODEN: JLCRD4; ISSN: 0362-4803  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



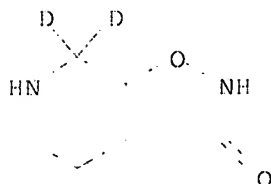
AB The D-labeled title compds. (I, II, and III, resp.) were prepared I and III were prepared from IV (X = CH<sub>2</sub>, X<sub>1</sub> = NCO<sub>2</sub>Me; X = NCO<sub>2</sub>Me, X<sub>1</sub> = CH<sub>2</sub>), resp., by sequential methylation, N-decarboxylation, nitrosation, H-D exchange reaction with D<sub>2</sub>O (acid- and base-catalyzed, resp.), denitrosation, and demethylation. Pt-catalyzed deuteration of pyridine-4-sulfonic acid in D<sub>2</sub>O gave II.

IT 82988-63-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 82988-63-4 CAPLUS

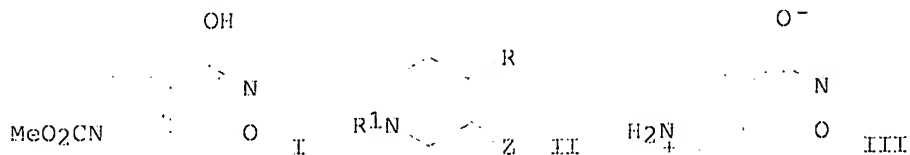
CN Isoxazolo[5,4-c]pyridin-3(2H)-one-7-d, 4,5,6,7-tetrahydro-7-d- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 13 CAPLUS' COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:439458 CAPLUS.  
DOCUMENT NUMBER: 91:39458  
ORIGINAL REFERENCE NO.: 91:6437a,6440a  
TITLE: Methyl tetrahydrohydroxy isoxazolopyridine carboxylate  
INVENTOR(S): Krogsgaard-Larsen, Povl  
PATENT ASSIGNEE(S): Den.  
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54036290	A	19790316	JP 1978-74800	19780620
DK 7802702	A	19781221	DK 1978-2702	19780615
DK 7802703	A	19781221	DK 1978-2703	19780615
FI 7801954	A	19781221	FI 1978-1954	19780619
FI 64376	B	19830729		
FI 64376	C	19831110		
FI 7801955	A	19781221	FI 1978-1955	19780619
NO 7802127	A	19781221	NO 1978-2127	19780619
NO 152049	B	19850415		
NO 152449	C	19850724		
NO 7802128	A	19781221	NO 1978-2128	19780619
EP 167	A1	19790110	EP 1978-100190	19780619
R: BE, CH, DE, FR, GB, LU, NL, SE				
EP 338	A2	19790124	EP 1978-100191	19780619
EP 338	A3	19790627		
EP 338	B1	19811125		
R: BE, CH, DE, FR, GB, LU, NL, SE				
ES 470912	A1	19790201	ES 1978-470912	19780619
ES 470913	A1	19790201	ES 1978-470913	19780619
ZA 7803492	A	19790627	ZA 1978-3492	19780619
ZA 7803493	A	19790627	ZA 1978-3493	19780619
AU 7837244	A	19800103	AU 1978-37244	19780619
US 4278676	A	19810714	US 1978-917118	19780619
AU 7837298	A	19800103	AU 1978-37298	19780620
AU 521040	B2	19820311		
AT 7804486	A	19820215	AT 1978-4486	19780620
AT 368505	B	19821025		
NO 7902839	A	19781221	NO 1979-2839	19790903
US 4301287	A	19811117	US 1979-104080	19791217
EP 27279	A1	19810422	EP 1980-106497	19801023
R: BE, CH, DE, FR, GB, LU, NL, SE				
EP 28017	A1	19810506	EP 1980-106498	19801023
R: BE, CH, DE, FR, GB, LU, NL, SE				
PRIORITY APPLN. INFO.:			GB 1977-25740	A 19770620
			US 1978-917118	A3 19780619
OTHER SOURCE(S):	MARPAT 91:39458			
GI				



AB The title compound (I) was prepared Thus, (methoxycarbonyl)piperidinone II (R

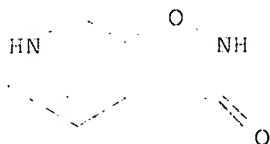
= CO<sub>2</sub>Et, R<sub>1</sub> = CO<sub>2</sub>Me, Z = O) [obtained by hydrogenating II (R = CO<sub>2</sub>Et, R<sub>1</sub> = CH<sub>2</sub>Ph, Z = O) over Pd-C, and reacting the product with ClCO<sub>2</sub>Me] was ketalized with HOCH<sub>2</sub>CH<sub>2</sub>OH to give the ethylene acetal II (R = CO<sub>2</sub>Et, R<sub>1</sub> = CO<sub>2</sub>Me, Z = OCH<sub>2</sub>CH<sub>2</sub>O), which was treated with H<sub>2</sub>NOH.HCl to give II (R = CONHOH, R<sub>1</sub> = CO<sub>2</sub>Me, Z = OCH<sub>2</sub>CH<sub>2</sub>O), whose cyclization in H<sub>2</sub>SO<sub>4</sub> gave the hydroxyisoxazolopiperidinecarboxylate. Decarboxylation of I followed by treatment with HBr and then H<sub>2</sub>O-Et<sub>3</sub>N-EtOH gave zwitterion III. III was a mild tranquilizer in mice.

IT 64603-91-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and tranquilizing activity of)

RN 64603-91-4 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro- (CA INDEX NAME)

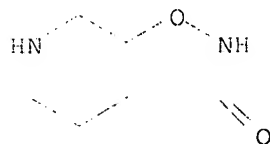


IT 65202-63-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

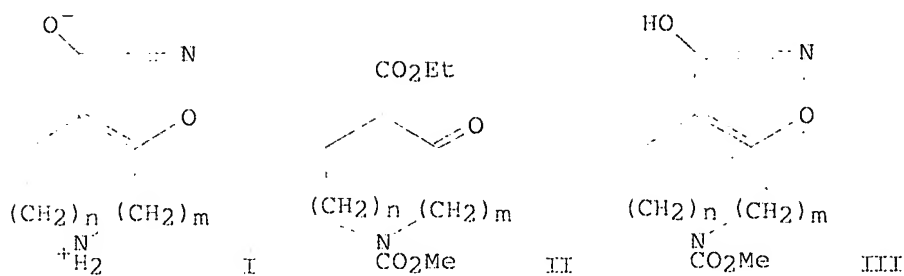
RN 65202-63-3 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, monohydrobromide  
(9CI) (CA INDEX NAME)



● HBr

ACCESSION NUMBER: 1978:37672 CAPLUS  
 DOCUMENT NUMBER: 88:37672  
 ORIGINAL REFERENCE NO.: 88:5913a,5916a  
 TITLE: Muscimol analogs. II. Synthesis of some bicyclic 3-isoxazolol zwitterions  
 AUTHOR(S): Krogsgaard-Larsen, Povl  
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AB The 3-isoxazolol zwitterions 4,5,6,7-tetrahydroisoxazolo[5,4-c]pyridin-3-ol, (I, n = 1, m = 1), 5,6,7,8-tetrahydro-4H-isoxazolo[5,4-c]azepin-3-ol (I, n = 2, m = 1), and 5,6,7,8-tetrahydro-4H-isoxazolo[4,5-c]azepin-3-ol (I, n = 0, m = 3) were prepared. The starting materials were the cyclic  $\beta$ -oxoesters II. The ethylene acetals of II were treated with HONH<sub>2</sub> followed by deacetalization and cyclization of the intermediate  $\beta$ -oxohydroxamic acid ethylene acetals to give the resp. 3-isoxazolol derivs. III, which were transformed into the zwitterions I. The pK<sub>a</sub> values of I were determined.

IT 65202-63-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction with triethylamine, zwitterions from)

RN 65202-63-3 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro-, monohydrobromide (9CI) (CA INDEX NAME)

HN O NH

O

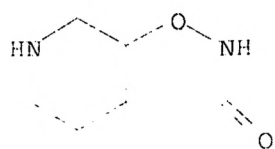
● HBr

IT 64603-91-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 64603-91-4 CAPLUS

CN Isoxazolo[5,4-c]pyridin-3(2H)-one, 4,5,6,7-tetrahydro- (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 12:10:11 ON 03 JAN 2008)

FILE 'REGISTRY' ENTERED AT 12:10:24 ON 03 JAN 2008

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 36 S L1 FULL

FILE 'CAPLUS' ENTERED AT 12:10:58 ON 03 JAN 2008

L4 502 S L3 FULL

L5 13 S L3/PREP FULL

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

73.45

252.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-10.40

-10.40

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L1	506	(546/116).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2008/01/03 12:12
L2	20	l1 and isoxazolo	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2008/01/03 12:13